## PATENT COOPERATION TREATY

## **PCT**

# INTERNATIONAL PRELIMINARY REPORT ON PATENT

(Chapter II of the Patent Cooperation Treaty)





| International application No. International filing date (day/month/year)   Priority date (day/mont | Applic                             | ant's or agent's file reference                      | FOR FURTHER AC   | TION  | See Form PCT/IPEA/416  |  |  |  |
|---|------------------------------------|--|--|---|--|--|--|--|
| International patent Classification (IPC) or national classification and IPC A61K9/16, A61K9/107, A61K31/167, A61K31/07, A61K9/10  Applicant FIDIA FARMACEUTICI S.P.A. et al.  1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 35.  2. This REPORT consists of a total of 4 sheets, including this cover sheet.  3. This report is also accompanied by ANNEXES, comprising: a. Sent to the applicant and to the International Bureau) a total of 2 sheets, as follows: Senter of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).    sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Sox No. I and the Supplemental Box.    sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Sox No. I and the Supplemental Box.    sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Sox No. I and the Supplemental Box.    sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application of item 4 of Sox No. I and the Sox No. II and the Sox No. II and the superseded earlier sheets, but which the Administrative instructions).    Sox No. II  | (101110111                         |  |  | ACTION See Form PCT//PEA/416  |  |  |  |  |
| International Patent Classification (IPC) or national classification and IPC A61K9/16, A61K9/107, A61K31/167, A61K31/07, A61K9/10  Applicant FIDIA FARMACEUTICI S.P.A. et al.  1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36. 2. This REPORT consists of a total of 4 sheets, including this cover sheet. 3. This report is also accompanied by ANNEXES, comprising: a. sent to the applicant and to the International Bureau) a total of 2 sheets, as follows: Sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).  sheets the disclosure in the international application as filed, as indicated in Item 4 of Box No. I and the Supplemental Box.  sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in Item 4 of Box No. I and the Supplemental Box Relating to Sequence Isling and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).  4. This report contains indications relating to the following items:  Box No. II Non-establishment of opinion with regard to novelty, inventive step and industrial applicability Box No. IV I Certain defects in the international application  Box No. VI Certain defects in the international application  Box No. VII Certain defects in the international application  Date of submission of the demand  Date of submission of the demand  Date of submission of the demand  Date of submission of the international preliminary examining authority:   | International application No. Inte |  | International filing date (c   | lay/month/year)   | 1  |  |  |  |
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| ⊠ Box No. I       Basis of the opinion         □ Box No. II       Priority         □ Box No. III       Non-establishment of opinion with regard to novelty, inventive step and industrial applicability         □ Box No. IV       Lack of unity of invention         ☒ Box No. V       Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement         □ Box No. VI       Certain documents cited         □ Box No. VII       Certain defects in the international application         □ Box No. VIII       Certain observations on the international application         Date of submission of the demand       Date of completion of this report         27.07.2005       22.09.2005         Name and mailing address of the international preliminary examining authority:       Authorized Officer   |                                    |  |  |   |  |  |  |  |
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| □ Box No. IV       Lack of unity of invention         □ Box No. V       Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement         □ Box No. VI       Certain documents cited         □ Box No. VIII       Certain defects in the international application         □ Box No. VIII       Certain observations on the international application         Date of submission of the demand       Date of completion of this report         27.07.2005       22.09.2005         Name and malling address of the international preliminary examining authority:       Authorized Officer  | 1                                  | ☐ Box No. II Priority                                |  |   |  |  |  |  |
| Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement  Box No. VI Certain documents cited Box No. VII Certain defects in the international application Box No. VIII Certain observations on the international application  Date of submission of the demand  Date of completion of this report  27.07.2005  Name and mailing address of the international preliminary examining authority:  Authorized Officer  |                                    | ☐ Box No. III Non-esta                               | blishment of opinion with rega                                       | rd to novelty, inventive  | e step and industrial applicability  |  |  |  |
| applicability; citations and explanations supporting such statement  Box No. VI Certain documents cited Box No. VII Certain defects in the international application Box No. VIII Certain observations on the international application  Date of submission of the demand  Date of completion of this report  27.07.2005  Name and mailing address of the international preliminary examining authority:  Authorized Officer  |                                    | ☐ Box No. IV Lack of u                               | inity of invention   | _   |  |  |  |  |
| Box No. VII Certain defects in the international application Box No. VIII Certain observations on the international application  Date of submission of the demand  27.07.2005  Date of completion of this report  22.09.2005  Name and mailing address of the international preliminary examining authority:  Authorized Officer  |                                    | ⊠ Box No. V Reasone applicab                         | ed statement under Article 35(2<br>ility; citations and explanations | <ol> <li>with regard to novelt<br/>supporting such state</li> </ol> | y, inventive step or industrial<br>ment  |  |  |  |
| Date of submission of the demand  Date of submission of the demand  27.07.2005  Name and mailing address of the international preliminary examining authority:  Date of completion of this report  22.09.2005  Authorized Officer   |                                    |  |  |   |  |  |  |  |
| Date of submission of the demand  27.07.2005  Date of completion of this report  22.09.2005  Name and mailing address of the international preliminary examining authority:  Authorized Officer   |                                    |  |  |   |  |  |  |  |
| 27.07.2005  22.09.2005  Name and mailing address of the international preliminary examining authority:  Authorized Officer  |                                    | ☐ Box No. VIII Certain o                             | bservations on the internation                                       | al application  |  |  |  |  |
| 27.07.2005  22.09.2005  Name and mailing address of the international preliminary examining authority:  Authorized Officer  | Date of completion of this report  |  |  |   | his raport   |  |  |  |
| Name and mailing address of the international preliminary examining authority:  Authorized Officer  | Date                               | of submission of the demand                          |  | Date of completion of the   | niis report  |  |  |  |
| Name and mailing address of the international preliminary examining authority:  Authorized Officer  | 07                                 | 07.0005  |  | 22 09 2005  |  |  |  |  |
| preliminary examining authority:  | 27.0                               | U1.2005  |  |   |  |  |  |  |
| preliminary examining authority:  | Nam                                | ne and mailing address of the in                     | ternational  | Authorized Officer  | Conta Printers.  |  |  |  |
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| European Patent Office D-80298 Munich Venturini, F  | D_80208 Munich                     |  |  | Venturini, F  |  |  |  |  |
| Tel. +49 89 2399 - 0 Tx: 523656 epmu d  | _                                  | Tel. +49 89 2399 - 0 Fax: +49 89 2399 - 4            | 1 X: 523656 epina a<br>465   | Telephone No. +49 89  | 2399-7847  |  |  |  |
| Tolenhane No. 140 90 9900-7947  |                                    |  | 400  | reteptione No. +49 69   | 2000-10-1  |  |  |  |

### INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No. PCT/EP2004/011236

| _  | Box No. I                | Basis of the report  |  |  |  |
|----|--------------------------|--|--|--|--|
| 1. | filed, unles             | the <b>language</b> , this report is based on the international application in the language in which it was herwise indicated under this item.   |  |  |  |
|    | ☐ This rewhich           | eport is based on translations from the original language into the following language , is the language of a translation furnished for the purposes of:  |  |  |  |
|    | □ inte<br>□ pu<br>□ inte | ernational search (under Rules 12.3 and 23.1(b))<br>blication of the international application (under Rule 12.4)<br>ernational preliminary examination (under Rules 55.2 and/or 55.3)  |  |  |  |
| 2. | have heer                | od to the <b>elements*</b> of the international application, this report is based on <i>(replacement sheets which</i><br>In furnished to the receiving Office in response to an invitation under Article 14 are referred to in this<br>"originally filed" and are not annexed to this report): |  |  |  |
|    |                          | - B  |  |  |  |
|    | Descriptio<br>1-12       | as originally filed  |  |  |  |
|    |                          |  |  |  |  |
|    | Claims, Nu               | received on 28.07.2005 with letter of 27.07.2005   |  |  |  |
|    | 1-13                     | 16061704 611 2010 112000 11211 10310 1021  |  |  |  |
|    | Drawings,                |  |  |  |  |
|    | 1/6-6/6                  | as originally filed  |  |  |  |
|    | □ a sec                  | uence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing  |  |  |  |
| 3. | . 🗆 The a                | amendments have resulted in the cancellation of:   |  |  |  |
|    |                          | e description, pages<br>e claims, Nos.   |  |  |  |
|    | ☐ th                     | e drawings, sheets/figs  |  |  |  |
|    | ⊔ tn<br>□ aı             | e sequence listing (specify): ny table(s) related to sequence listing (specify):   |  |  |  |
| 4  | had not b                | report has been established as if (some of) the amendments annexed to this report and listed below een made, since they have been considered to go beyond the disclosure as filed, as indicated in the ental Box (Rule 70.2(c)).   |  |  |  |
|    |                          | ne description, pages<br>ne claims, Nos.   |  |  |  |
|    | ☐ th                     | ne drawings, sheets/figs   |  |  |  |
|    | ⊔ th<br>□ a              | ne sequence listing (specify): ny table(s) related to sequence listing (specify):  |  |  |  |
|    | * Tf i                   | tem 4 applies, some or all of these sheets may be marked "superseded."   |  |  |  |

International application No. PCT/EP2004/011236

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)

Yes: Claims

4-10,12,13

No: Claims

1-3,11

Inventive step (IS)

Yes: Claims

4-10,12,13

No: Claims

1-3,11

Industrial applicability (IA)

Yes: Claims

1-13

No: Claims

2. Citations and explanations (Rule 70.7):

see separate sheet

# INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY (SEPARATE SHEET)

International application No.

PCT/EP2004/011236

#### **SECTION V**

1. Reference is made to the following document:

D1: US5023271

2. D1 describes pharmaceutical microemulsions comprising, among other ingredients, Vitamin A and phosphatidylcholine.

As it is not explicitly mentioned in D1 whether said microemulsions are W/O or O/W, they are *prima facie* considered as novelty-destroying for claims 1-3,11 of the present application unless the applicant provides information to reverse said opinion. Therefore the present application does not meet the criteria of Article 33(1) PCT, because the subject-matter of claims 1-3,11 is not new in the sense of Article 33(2) PCT.

Amended claims 1-13 must be construed as meaning a composition suitable for topical use. Although D1 does not describe microemulsions for topical use, microemulsions described therein are in fact in principle also suitable for topical use. Therefore the novelty objection is maintained.

Since the question of the presence of an inventive step only arises when the subjectmatter is new, a more detailed analysis of the compliance of the present application with the requirements of Art 33(3) PCT is postponed until the objection above is overcome.

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#### CLAIMS

- 1. Topical water-in-oil (W/O) microemulsions containing a retinoid and a phospholipid emulsifier as active ingredient.
- 2. Topical microemulsions as claimed in claim 1, wherein the phospholipid emulsifier is selected from soy phosphatidylcholine and soy lecithin.
  - 3. Topical microemulsions as claimed in claim 1 or 2, wherein the oily phase consists of alkyl esters of  $C_{10}$ - $C_{22}$  fatty acids.
- 4. Topical microemulsions as claimed in claim 3, wherein the oily phase consists of isopropyl palmitate.
  - 5. Topical microemulsions as claimed in one or more of the preceding claims, wherein the retinoid is selected from isotretinoin (13-cis-retinoic acid), tazarotene and fenretinide.
- 6. Topical microemulsions as claimed in claim 5, wherein the retinoid is fenretinide.
  - 7. Topical microemulsions as claimed in one or more of the preceding claims, also containing sodium hyaluronate.
  - 8. Topical microemulsions as claimed in one or more of the preceding claims, containing a derivative of hyaluronic acid selected from:
    - HA salified with organic and/or inorganic bases with a molecular weight of 50-730 KDa or a high molecular weight (750-1230 KDa);
      - esters of HA with alcohols of the aliphatic, araliphatic, cycloaliphatic, aromatic, cyclic and heterocyclic series;
      - amides of HA with amines of the aliphatic, araliphatic, cycloaliphatic, aromatic, cyclic and heterocyclic series;
      - O-sulphated derivatives of HA up to the 4th degree of sulphation;
      - inner esters of HA.

- 9. Topical microemulsions as claimed in one or more of the preceding claims, also containing antioxidants and preservatives.
- 10. Topical microemulsions as claimed in claim 9, containing  $\alpha$ -tocopherol and parabens.
- 5 11. Topical pharmaceutical compositions comprising the microemulsions described in claims 1-10.
  - 12. Use of the microemulsions described in the claims 1-10 for the preparation of medicinal products with chemoprotective activity.
- 13. A process for the preparation of the microemulsions claimed in claims
  10 1-10, which involves the addition of a solution of phospholipid emulsifier in the oily phase to the retinoid solution in the same oily phase, or the subsequent addition of an aqueous solution, possibly containing hyaluronic acid, salts or derivatives thereof, preservatives, EDTA and other components.